(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 29 December 2005 (29.12,2005)

(10) International Publication Number WO 2005/122698 A3

- (51) International Patent Classification:

 C07D 409/14 (2006.01) C07D 333/20 (2006.01)

 C07D 211/42 (2006.01)
- (21) International Application Number:

PCT/IN2004/000447

(22) International Filing Date:

24 December 2004 (24.12.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 1210/MUM/2003

24 December 2003 (24.12.2003) IN

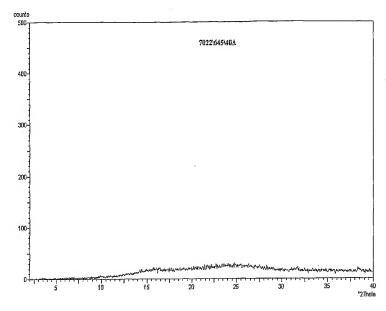
- (71) Applicant (for all designated States except US): SUN PHARMACEUTICAL INDUSTRIES LIMITED [IN/IN]; Acme Plaza, Andheri-Kurla Road, Andheri East, Mumbai 400 059 (IN).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): NATARAJAN, Muthukumaran [IN/IN]; Sun Pharma Advanced Research Centre, Akota Road, Akota, Baroda 390020 (IN).

PATEL, Nileshkumar, Sureshbhai [IN/IN]; Sun Pharma Advanced Research Centre, Akota Road, Akota, Baroda 390020 (IN). BHATT, Mehul, Chandrakatbhai [IN/IN]; Sun Pharma Advanced Research Centre, Akota Road, Akota, Baroda 390020 (IN). KILARU, Srinivasu [IN/IN]; Sun Pharma Advanced Research Centre, Akota Road, Akota, Baroda 390020 (IN). THENNATI, Rajamannar [IN/IN]; Sun Pharma Advanced Research Centre, Akota Road, Akota, Baroda 390020 (IN).

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,

[Continued on next page]

(54) Title: NOVEL STABLE POLYMORPHIC FORMS OF TIAGABINE HYDROCHLORIDE



XRD PATTERN OF FORM V AMORPHOUS FORM (Prepared by

freeze drying method)

(57) Abstract: Stable polymorphic forms III, IV and substantially amorphous forms of an anticonvulsant, tiagabine-HCI. Thus, a monoacetonitrile solvate of tiagabine-HCI was prepared by the reaction of the drug hydrochloride with MeCN. The solvate was characterized by x-ray diffration.



FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to the identity of the inventor (Rule 4.17(i))
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii))
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii))
- of inventorship (Rule 4.17(iv))

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report: 15 June 2006

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.